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     (FILE 'HOME' ENTERED AT 10:41:08 ON 11 MAY 2005)

     FILE 'HCAPLUS' ENTERED AT 10:41:13 ON 11 MAY 2005
L1            1 SEA ABB=ON  PLU=ON  (GB2000-12083# OR GB1999-20397# OR
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     FILE 'HCAPLUS' ENTERED AT 10:42:43 ON 11 MAY 2005
L2            TRA L1 1- RN :       47 TERMS

     FILE 'REGISTRY' ENTERED AT 10:42:43 ON 11 MAY 2005
L3           47 SEA ABB=ON  PLU=ON  L2

     FILE 'WPIX' ENTERED AT 10:42:46 ON 11 MAY 2005
L4            1 SEA ABB=ON  PLU=ON  (GB2000-12083# OR GB1999-20397# OR
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L1   ANSWER 1 OF 1  HCAPLUS  COPYRIGHT 2005 ACS on STN
AN   2001:167843  HCAPLUS
DN   134:237835
ED   Entered STN:  09 Mar 2001
TI   Method for coupling molecules such as peptides and oligonucleotides
IN   Gait, Michael John; Stetsenko, Dmitry
PA   Medical Research Council, UK
SO   PCT Int. Appl., 39 pp.
     CODEN: PIXXD2
DT   Patent
LA   English
IC   ICM  A61K047-48
CC   34-3 (Amino Acids, Peptides, and Proteins)
     Section cross-reference(s): 33
FAN. CNT 1
     PATENT NO.          KIND   DATE        APPLICATION NO.        DATE
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PI   WO 2001015737       A2     20010308    WO 2000-GB3306         20000825 <--
     WO 2001015737       A3     20011115
         W:  AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN,
             CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR,
             HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT,
             LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU,
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            SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN,
            YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM
        RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY,
            DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ,
            CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG
    CA 2382499          AA    20010308    CA 2000-2382499         20000825 <--
    EP 1207909          A2    20020529    EP 2000-956666          20000825 <--
       R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT,
          IE, SI, LT, LV, FI, RO, MK, CY, AL
    JP 2003508450       T2    20030304    JP 2001-520148          20000825 <--
PRAI GB 1999-20397      A     19990827  <--
    GB 2000-12083       A     20000518  <--
    WO 2000-GB3306      W     20000825  <--
CLASS
 PATENT NO.        CLASS  PATENT FAMILY CLASSIFICATION CODES
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 WO 2001015737     ICM    A61K047-48
OS   MARPAT 134:237835
AB   A method is given for linking a first mol. M1-NH2 with a second mol. M2-OH
     which comprises reaction of a compound of formula M1-NHCO-A-C(O)SR1 (M1 is
     the residue of a mol. bearing an amino group, A is an alkylene or arylene
     group, R1 is alkyl or aryl) with a compound of formula M2-O-B(D-SR2)NH2 (M2
     is the residue of a mol. bearing a hydroxy group, B is a linker, D is C1-4
     alkylene or C3-12 arylene, R2 is H or a thiol protecting group). In
     addition, this invention relates to conjugate products of the coupling
     reaction, reagents for modifying M1-NH2 and M2-OH, and kits comprising
     these reagents. Thus, coupling reagents pentafluorophenyl S-benzyl
     thiosuccinate and 4-N-α-Fmoc-S-tert-butylsulfenyl-L-
     cysteinylpiperidyl 2-cyanoethyl N,N-diisopropylphosphoramidite were prepared
     and applied to the automated solid phase synthesis of peptide N-terminal
     S-benzyl thioesters and 5'-cysteinyl oligonucleotides and solution-phase
     synthesis of peptide-N→5'-oligonucleotide conjugates.
ST   coupling method peptide oligonucleotide prepn
IT   Peptide coupling
        (method for coupling mols. such as peptides and oligonucleotides)
IT   Oligonucleotides
     Peptides, preparation
     RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT
     (Reactant or reagent)
        (method for coupling mols. such as peptides and oligonucleotides)
IT   100-53-8, Benzyl mercaptan  108-30-5, Succinic anhydride, reactions
     771-61-9, Pentafluorophenol  5382-16-1, 4-Hydroxypiperidine  5961-85-3,
     Tris(2-carboxyethyl)phosphine  50910-54-8, trans-4-Aminocyclohexanol
     hydrochloride  89992-70-1  102691-36-1  115520-21-3  143038-41-9
     RL: RCT (Reactant); RACT (Reactant or reagent)
        (method for coupling mols. such as peptides and oligonucleotides)
IT   110556-14-4P  294172-31-9P  294172-32-0P  294172-33-1P  294172-35-3P
     294172-37-5P  294172-39-7P  329185-90-2P  329185-91-3P  329185-94-6P
     329185-97-9P
     RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT
     (Reactant or reagent)
        (method for coupling mols. such as peptides and oligonucleotides)
IT   294172-40-0P  294172-41-1P  294172-42-2P  294172-43-3P  294172-44-4P
     294172-45-5P  294172-46-6P  294172-47-7P  294172-48-8P  294172-49-9P
     294172-50-2P  294900-76-8P  294900-77-9P  294900-78-0P  294900-79-1P
     294900-80-4P  295810-35-4P  295810-36-5P  295810-37-6P  295810-38-7P
     295810-39-8P  295810-40-1P  295810-41-2P  329186-01-8P  329991-05-1P
     329991-06-2DP, fluorescein bound
     RL: SPN (Synthetic preparation); PREP (Preparation)
        (method for coupling mols. such as peptides and oligonucleotides)


=> b wpix
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FILE LAST UPDATED:          6 MAY 2005      <20050506/UP>
MOST RECENT DERWENT UPDATE:    200529        <200529/DW>
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=> d all l4

L4 ANSWER 1 OF 1 WPIX COPYRIGHT 2005 THE THOMSON CORP on STN
AN 2001-367105 [38] WPIX
DNC C2001-112480
TI Method for coupling molecules, e.g. peptides and oligonucleotides, and new intermediates and reagents.
DC B04 B05
IN GAIT, M J; STETSENKO, D
PA (MEDI-N) MEDICAL RES COUNCIL
CYC 95
PI WO 2001015737 A2 20010308 (200138)* EN 39 A61K047-48
RW: AT BE CH CY DE DK EA ES FI FR GB GH GM GR IE IT KE LS LU MC MW MZ NL OA PT SD SE SL SZ TZ UG ZW
W: AE AG AL AM AT AU AZ BA BB BG BR BY BZ CA CH CN CR CU CZ DE DK DM DZ EE ES FI GB GD GE GH GM HR HU ID IL IN IS JP KE KG KP KR KZ LC LK LR LS LT LU LV MA MD MG MK MN MW MX MZ NO NZ PL PT RO RU SD SE SG SI SK SL TJ TM TR TT TZ UA UG US UZ VN YU ZA ZW
AU 2000068539 A 20010326 (200138) A61K047-48
EP 1207909 A2 20020529 (200243) EN A61K047-48
R: AL AT BE CH CY DE DK ES FI FR GB GR IE IT LI LT LU LV MC MK NL PT RO SE SI
JP 2003508450 W 20030304 (200319) 44 C07K001-00
ADT WO 2001015737 A2 **WO 2000-GB3306 20000825**; AU 2000068539 A AU 2000-68539 20000825; EP 1207909 A2 EP 2000-956666 20000825, **WO 2000-GB3306 20000825**; JP 2003508450 W **WO 2000-GB3306 20000825**, JP 2001-520148 20000825
FDT AU 2000068539 A Based on WO 2001015737; EP 1207909 A2 Based on WO 2001015737; JP 2003508450 W Based on WO 2001015737
PRAI **GB 2000-12083 20000518; GB 1999-20397 19990827**
IC ICM A61K047-48; C07K001-00
ICS A61K031-7088; A61K048-00; A61P043-00; C07K007-00; C07K014-00
AB WO 200115737 A UPAB: 20010711
NOVELTY - Linking an amine derivative (I') with a hydroxy derivative (II') comprises reacting an alkyl or aryl thio ester (I) with an amino thioether (II).
DETAILED DESCRIPTION - Linking an amine derivative (I') with a hydroxy derivative (II') comprises reacting an alkyl or aryl thioester (I) with an amino thioether (II).
M1 = residue of a molecule bearing an amino group;
A' = alkylene or arylene;
R1 = alkyl or aryl;
M2 = residue of a molecule bearing a hydroxy group;
B' = linker;
D' = 1-4C alkylene or 3-12C arylene;
R2 = H or a thiol protecting group.
INDEPENDENT CLAIMS are included for the following:

(a) compounds (I) and (II) are claimed as new per se;
(b) new alkyl or aryl thio esters of formula (III);
(c) new amino thioethers of formula (IV);
(d) compounds containing an amido mercapto structural unit of formula (V);
(e) new amido thio derivatives of formulae (VI) and (VII);
(f) the preparation of (I) and (II); and
(g) kits comprising (III) and/or (IV).
R5 = OH, oxy anion and salts, alkoxy, aryloxy, N-succinimidyloxy, N (norbornenedicarboximido)oxy, N-benzotriazolyloxy, N-(1,2-dihydro 1-oxo-2,3,4-benzotriazin-2-yl)oxy, halo or N-azolyl; or together with the adjacent CO groups forms an anhydride;
R6 = dialkylamino, imino, halo, N-azolyl, alkoxy, aryloxy, alkylthio or arylthioaryl;
J' = alkylene or arylene; and
Y' = labelling, reporter or effector group.
(I)-(VI) may be linked to a solid support.
USE - Compounds (I)-(VI) are useful e.g. for linking a peptide and an oligonucleotide.
Dwg.0/0
FS CPI
FA AB; GI; DCN
MC CPI: B10-B03B; B10-D03

=> b home

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